(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



1 0.415 10.0101 10 64010 10.010 10.010 10.010 14.010 10.010 10.010 10.010 10.010 10.010 10.010 10.010

(43) International Publication Date 31 July 2003 (31.07.2003)

PCT

(10) International Publication Number WO 03/062248 A2

(51) International Patent Classification7:

C07F

- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (21) International Application Number: PCT/US03/01059
- (22) International Filing Date: 14 January 2003 (14.01.2003)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/349,995

18 January 2002 (18.01.2002) US

(71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): DOHERTY, George, A. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). L1, Zhen [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HALE, Jeffrey, J. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). MILLS, Sander, G. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,

LR, LS, LT, LU, LV, MA, MD, MG, MA, MIN, MW, MA, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

..., --, ---, ---,

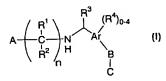
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW). Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: N-(BENZYL)AMINOALKYLCARBOXYLATES, PHOSPHINATES, PHOSPHONATES AND TETRAZOLES AS EDG RECEPTOR AGONISTS



(57) Abstract: The present invention encompasses compounds of Formula (I) as well as the pharmaceutically acceptable salts and hydrates thereof. The compounds are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compositions and methods of use are included.

03/062248 A2